CLAIMS

1. A pharmaceutical preparation comprising a solution of methylnaltrexone or a salt thereof, wherein the preparation after autoclaving has a concentration of methylnaltrexone degradation products that does not exceed 2% of the methylnaltrexone or salt thereof in the preparation.

2. The pharmaceutical preparation of claim 1, wherein the concentration of methylnaltrexone degradation products does not exceed 1.5% of the methylnaltrexone or salt thereof in the preparation.

3. The pharmaceutical preparation of claim 2, wherein the concentration of methylnaltrexone degradation products does not exceed 1.0% of the methylnaltrexone or salt thereof in the preparation.

4. The pharmaceutical preparation of claim 3, wherein the concentration of methylnaltrexone degradation products does not exceed 0.5% of the methylnaltrexone or salt thereof in the preparation.

5. The pharmaceutical preparation of claim 4, wherein the concentration of methylnaltrexone degradation products does not exceed 0.25% of the methylnaltrexone or salt thereof in the preparation.

6. The pharmaceutical preparation of claim 5, wherein the concentration of methylnaltrexone degradation products does not exceed 0.125% of the methylnaltrexone or salt thereof in the preparation.

7. The pharmaceutical preparation of claim 1, wherein the pharmaceutical preparation further comprises a chelating agent.

8. The pharmaceutical preparation of claim 7, wherein the chelating agent is ethylenediaminetetraacetic acid (EDTA) or a derivative thereof.

9. The pharmaceutical preparation of claim 8, wherein the derivative is disodium edetate.

10. The pharmaceutical preparation of claim 8, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.001 to 100.0 mg/ml.

11. The pharmaceutical preparation of claim 10, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.05 to 25.0 mg/ml.

12. The pharmaceutical preparation of claim 11, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.1 to 2.5 mg/ml.

13. The pharmaceutical preparation of claim 7, further comprising a buffering agent.

14. The pharmaceutical preparation of claim 13, wherein the buffering agent is citrate buffer.

15. The pharmaceutical preparation of claim 10, further comprising citrate in a concentration ranging from 0.0010 to 100.0 mM.

16. The pharmaceutical preparation of claim 10, further comprising citrate in a concentration ranging from 0.10 to 50 mM.

17. The pharmaceutical preparation of claim 1, further comprising a buffering agent.

18. The pharmaceutical preparation of claim 17, wherein the buffering agent is citrate buffer.

19. The pharmaceutical preparation of claim 18, wherein the citrate is present in a concentration ranging from 0.01 to 100.0 mM.

20. The pharmaceutical preparation of claim 19, wherein the citrate is present in a concentration ranging from 0.10 to 10.0 mM.

21. The pharmaceutical preparation of claim 20, wherein the citrate is present in a concentration ranging from 0.10 to 5.0 mM.

22. The pharmaceutical preparation of any one of claims 1 to 21, wherein the pH of the preparation does not exceed 4.25.

23. The pharmaceutical preparation of claim 22, wherein the pH ranges from 2.0 to 4.0.

24. The pharmaceutical preparation of claim 23, wherein the pH ranges from 3.0 to 4.0.

25. The pharmaceutical preparation of claim 24, wherein the pH ranges from 3.0 to 3.5.

26. The pharmaceutical preparation of any one claims 1 to 21 wherein, the concentration of methylnaltrexone ranges from 0.01 to 100 mg/ml.

27. The pharmaceutical preparation of claim 26 wherein, the concentration of methylnaltrexone ranges from 0.1 to 100.0 mg/ml.

28. The pharmaceutical preparation of claim 27 wherein, the concentration of methylnaltrexone ranges from 1.0 to 50.0 mg/ml.

29. The pharmaceutical preparation of claim 26 wherein, the pH of the pharmaceutical preparation does not exceed 4.25.

30. The pharmaceutical preparation of claim 29 wherein, the pH ranges from 2.0 to 4.0.

31. The pharmaceutical preparation of claim 29 wherein, the pH ranges from 3.0 to 4.0.

32. The pharmaceutical preparation of claim 29 wherein, the pH ranges from 3.0 to 3.5.

33. The pharmaceutical preparation of any one of claims 1 to 21, further comprising an anti-oxidant.

34. The pharmaceutical preparation of any one of claims 1 to 21, further comprising an isotonicity agent.

35. The pharmaceutical preparation of any one of claims 1 to 21, further comprising an opioid.

36. The pharmaceutical preparation of any one of claims 1 to 21, further comprising a cryoprotective agent.

37. The pharmaceutical preparation of claim 36, wherein the cryoprotective agent is a polyol.

38. The pharmaceutical preparation of claim 1 to 21, wherein the solution is provided in a vial or ampoule with a septum.

39. The pharmaceutical preparation of claim 1 to 21, wherein the solution is provided in a syringe, infusion bag or sealable bottle.

40. The pharmaceutical preparation of claim 22, wherein the solution is provided in a vial or ampoule with a septum.

41. The pharmaceutical preparation of claim 22, wherein the solution is provided in a syringe, infusion bag or sealable bottle.

42. The pharmaceutical preparation of claim 26, wherein the solution is provided in a vial or ampoule with a septum.

43. The pharmaceutical preparation of claim 26, wherein the solution is provided in a syringe, infusion bag, or sealable bottle.

44. The pharmaceutical preparation of claim 1 to 21, wherein the solution is provided in a container including indicia indicating that the pharmaceutical preparation has been autoclaved.

45. The pharmaceutical preparation of claim 22, wherein the solution is provided in a container including indicia indicating that the pharmaceutical preparation has been autoclaved.

46 The pharmaceutical preparation of claim 35, wherein the solution is provided in a container including indicia indicating that the pharmaceutical preparation has been autoclaved.

47. The pharmaceutical preparation of claim 22, wherein the solution is provided in a container including indicia indicating that the pharmaceutical preparation has been autoclaved.

48. The pharmaceutical preparation of claim 25, wherein the solution is provided in a container including indicia indicating that the pharmaceutical preparation has been autoclaved.

49. The pharmaceutical preparation of claim 26, wherein the solution is provided in a container including indicia indicating that the pharmaceutical preparation has been autoclaved.

50. A method for preparing an autoclaved pharmaceutical preparation that has a concentration of methylnaltrexone degradation products that does not exceed 2% of the methylnaltrexone or salt thereof in the preparation comprising:

providing a solution having a pH of 4.25 or less comprising methylnaltrexone or salt thereof and being substantially free of methylnaltrexone degradation products; and

autoclaving the solution.

51. The method of claim 50, wherein the pH ranges from 2.0 to 4.0.

52. The method of claim 51, wherein the pH ranges from 3.0 to 4.0.

53. The method of claim 51, wherein the pH ranges from 3.0 to 3.5

54. The method of claim 50, 51, 52 or 53, wherein the solution contains a chelating agent.

55. The method of claim 54, wherein the solution further comprises an isotonicity agent.

56. The method of claim 50, 51, 52 or 53, wherein the solution contains a buffering agent.

57. The method of claim 56, wherein the solution contains a chelating agent.

58. The method of claim 50, 51, 52 or 53, wherein the solution contains an anti-oxidant.

59. The method of claim 58, wherein the solution contains a chelating agent.

60. The method of claim 58, wherein the solution contains a buffering agent.

61. The method of claim 54, wherein the chelating agent is EDTA or derivative thereof.

62. The method of claim 56, wherein the buffering agent is citrate buffer.

63. The method of claim 50, 51, 52 or 53, further comprising lyophilizing the solution.

64. The method of claim 63, further comprising adding a cryoprotecting agent to the solution.

65. The method of claim 63, wherein the cryoprotective agent is a polyol.

66. A method for preparing an autoclaved pharmaceutical preparation that has a concentration of methylnaltrexone degradation products that does not exceed 2% of the methylnaltrexone or salt thereof in the preparation comprising:

providing a solution comprising methylnaltrexone or salt thereof and a chelating agent, the solution being substantially free of methylnaltrexone degradation products; and

autoclaving the solution.

67. The method of claim 66, wherein the chelating agent is EDTA or derivative thereof.

68. The method of claim 67, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.001 to 100.0 mg/ml.

69. The method of claim 68, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.05 to 25.0 mg/ml.

70. The method of claim 68, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.1 to 2.5 mg/ml.

71. The method of claim 66, 67, 68, 69 or 70, wherein the solution contains a buffering agent.

72. The method of claim 71, wherein the buffering agent is citrate buffer.

73. The method of claim 66, wherein the solution is adjusted to have a pH of 4.25 or less.

74. The method of claim 71, wherein the solution is adjusted to have a pH of 4.25 or less.

75. The method of claim 66, wherein the solution is adjusted to have a pH ranging from 3.0 to 3.5.

76. The method of claim 71, wherein the solution is adjusted to have a pH ranging from 3.0 to 3.5.

77. The method of claim 66, wherein the solution contains an anti-oxidant.

78. The method of claim 66, wherein the solution contains an isotonicity agent.

79. The method of claim 66, 67, 68, 69 or 70, wherein the degradation products after autoclaving do not exceed 1.0 %.

80. The method of claim 71, wherein the degradation products after autoclaving do not exceed 1.0%.

81. The method of claim 66, 67, 68, 69, or 70, wherein the degradation products after autoclaving do not exceed 0.5%.

82. The method of claim 71, wherein the degradation products after autoclaving do not exceed 0.5%.

83. The method of claim 66, further comprising lyophilizing the solution.

84. The method of claim 83, further comprising adding a cryoprotecting agent to the solution.

85. The method of claim 84, wherein the cryoprotective agent is a polyol.

86. A pharmaceutical preparation comprising a solution of methylnaltrexone or a salt thereof, wherein the preparation after storage at about room temperature for six months has a concentration of methylnaltrexone degradation products that does not exceed 2% of the methylnaltrexone in the preparation.

87. The pharmaceutical preparation of claim 86, wherein the concentration of methylnaltrexone degradation products does not exceed 1.5% of the methylnaltrexone in the preparation.

88. The pharmaceutical preparation of claim 87, wherein the concentration of methylnaltrexone degradation products does not exceed 1.0% of the methylnaltrexone in the preparation.

89. The pharmaceutical preparation of claim 88, wherein the concentration of methylnaltrexone degradation products does not exceed 0.5% of the methylnaltrexone in the preparation.

90. The pharmaceutical preparation of claim 89, wherein the concentration of methylnaltrexone degradation products does not exceed 0.25% of the methylnaltrexone in the preparation.

91. The pharmaceutical preparation of claim 90, wherein the concentration of methylnaltrexone degradation products does not exceed 0.125% of the methylnaltrexone in the preparation.

92. The pharmaceutical preparation of claim 88, wherein the pharmaceutical preparation further comprises a chelating agent.

93. The pharmaceutical preparation of claim 92, wherein the chelating agent is EDTA or derivative thereof.

94. The pharmaceutical preparation of claim 93, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.001 to 100.0 mg/ml.

95. The pharmaceutical preparation of claim 94, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.05 to 25.0 mg/ml.

96. The pharmaceutical preparation of claim 95, wherein the EDTA or derivative thereof is present in a concentration ranging from 0.1 to 2.5 mg/ml.

97. The pharmaceutical preparation of claim 92, further comprising a buffering agent.

98. The pharmaceutical preparation of claim 97, wherein the buffering agent is citrate buffer.

99. The pharmaceutical preparation of claim 94, further comprising citrate in a concentration ranging from 0.0010 to 100.0 mM.

100. The pharmaceutical preparation of claim 94, further comprising citrate in a concentration ranging from 0.10 to 50 mM.

101. The pharmaceutical preparation of claim 86, wherein the pharmaceutical preparation further comprises a buffering agent.

102. The pharmaceutical preparation of claim 86, wherein the buffering agent is citrate buffer.

103. The pharmaceutical preparation of claim 102, wherein the citrate is present in a concentration ranging from 0.01 to 100.0 mM.

104. The pharmaceutical preparation of claim 103, wherein the citrate is present in a concentration ranging from 0.10 to 10.0 mM.

105. The pharmaceutical preparation of claim 104, wherein the citrate is present in a concentration ranging from 0.10 to 5.0 mM.

106. The pharmaceutical preparation of any one of claims 86 to 105, wherein the pH does not exceed 4.25.

107. The pharmaceutical preparation of claim 106, wherein the pH ranges from 2.0 to 4.0.

108. The pharmaceutical preparation of claim 107, wherein the pH ranges from 3.0 to 4.0.

109. The pharmaceutical preparation of claim 108, wherein the pH ranges from 3.0 to 3.5.

110. The pharmaceutical preparation of any one claims 86 to 105, wherein the concentration of methylnaltrexone ranges from 0.01 to 100 mg/ml.

111. The pharmaceutical preparation of claim 110, wherein the concentration of methylnaltrexone ranges from 0.1 to 100.0 mg/ml.

112. The pharmaceutical preparation of claim 111, wherein the concentration of methylnaltrexone ranges from 1.0 to 50.0 mg/ml.

113. The pharmaceutical preparation of claim 111, wherein the pH does not exceed 4.25.

114. The pharmaceutical preparation of claim 113, wherein the pH ranges from 2.0 to 4.0.

115. The pharmaceutical preparation of claim 113, wherein the pH ranges from 3.0 to 4.0.

116. The pharmaceutical preparation of claim 113, wherein the pH ranges from 3.0 to 3.5.

117. The pharmaceutical preparation of any one of claims 86 to 105, further comprising an anti-oxidant.

118. The pharmaceutical preparation of any one of claims 86 to 105, further comprising an isotonicity agent.

119. The pharmaceutical preparation of any of claims 86 to 105, further comprising a cryoprotective agent.

120. The pharmaceutical preparation of claim 119, wherein the cryoprotective agent is a polyol.

121. The pharmaceutical preparation of any one of claims 86 to 105, further comprising an opioid.

122. The pharmaceutical preparation of claim 97, further comprising an isotonicity agent, wherein the pH does not exceed 4.25.

123. The pharmaceutical preparation of claim 121, wherein the pH is between 3.0 and 3.5.

124. The pharmaceutical preparation of claim 122, wherein the buffering agent is a citrate buffer and chelating agent is EDTA or a derivative thereof.

125. The pharmaceutical preparation of claim 124, wherein the citrate is present in a range between 0.001 and 100 mM and the chelating agent is present in a range between 0.001 and 100.0 mg/mL.

126. The pharmaceutical preparation of claim 122, 123, 124 or 125, further comprising an isotonicity agent.

127. The pharmaceutical preparation of claim 122, 123, 124 or 125, further comprising an antioxidant.

128. The pharmaceutical preparation of claim 127, further comprising an isotonicity agent.

129. The pharmaceutical preparation of claim 86, wherein the solution is provided in a vial or ampoule with a septum, in a syringe, an infusion bag, or a sealable bottle.

130. The pharmaceutical preparation of claim 106, wherein the solution is provided in a vial or ampoule with a septum, in a syringe, an infusion bag, or a sealable bottle.

131. The pharmaceutical preparation of claim 122, wherein the solution is provided in a vial or ampoule with a septum.

132. The pharmaceutical preparation of claim 122, wherein the solution is provided in a syringe, an infusion bag, or a sealable bottle.

133. The pharmaceutical preparation of claim 86, wherein the solution is provided in a container including indicia indicating that the solution has been autoclaved.

134. The pharmaceutical preparation of claim 106, wherein the solution is provided in a container including indicia indicating that the solution has been autoclaved.

135. The pharmaceutical preparation of claim 124, wherein the solution is provided in a container including indicia indicating that the solution has been autoclaved.

136. A stable pharmaceutical preparation comprising a solution of methylnaltrexone or salt thereof, wherein the pH is below 4.25.

137. The pharmaceutical preparation of claim 136, wherein the pH is between 2.75 and 4.25.

138. The pharmaceutical preparation of claim 136, wherein the pH is between 3.0 and 4.0.

139. The pharmaceutical preparation of claim 136, wherein the pH is between 3.0 and 3.5.

140. The pharmaceutical preparation of claim 136, 137, 138, or 139, wherein the pH is adjusted with an acid selected from the group consisting of HCl, citric acid, sulfuric acid, acetic acid, or phosphoric acid.

141. The pharmaceutical preparation of claim 136, 137, 138, or 139, wherein the preparation further comprises a buffering agent.

142. The pharmaceutical preparation of claim 141, wherein the buffering agent is selected from the group consisting of citric acid, sodium citrate, sodium acetate, acetic acid, sodium phosphate and phosphoric acid, sodium ascorbate, tartartic acid, maleic acid, glycine, sodium lactate, lactic acid, ascorbic acid, imidazole, sodium bicarbonate

and carbonic acid, sodium succinate and succinic acid, histidine, and sodium benzoate and benzoic acid.

143. The pharmaceutical preparation of claim 141, wherein the buffering agent is a citrate buffer.

144. The pharmaceutical preparation of claim 143, wherein the citrate buffer concentration ranges from 0.001 mM to 100 mM.

145. The pharmaceutical preparation of claim 143, wherein the citrate buffer concentration ranges from 0.01 mM to 50 mM.

146. The pharmaceutical preparation of claim 143, wherein the citrate buffer concentration ranges from 0.1 mM to 25 mM.

147. The pharmaceutical preparation of claim 136, further comprising a chelating agent.

148. The pharmaceutical preparation of claim 141, further comprising a chelating agent.

149. The pharmaceutical preparation of claim 148, wherein the chelating agent is selected from the group consisting of EDTA and derivatives thereof, citric acid and derivatives thereof, niacinamide and derivatives sodium desoxycholate and derivatives thereof.

150. The pharmaceutical preparation of claim 149, wherein the chelating agent is EDTA or derivative thereof.

151. The pharmaceutical preparation of claim 150, wherein the EDTA or derivative thereof concentration ranges from 0.001 to 100 mg/ml.

152. The pharmaceutical preparation of claim 151, wherein the EDTA or derivative thereof concentration ranges from 0.05 to 25.0 mg/ml.

153. The pharmaceutical preparation of claim 151, wherein the EDTA or derivative thereof concentration ranges from 0.1 to 2.5 mg/ml.

154. The pharmaceutical preparation of claim 151, wherein the EDTA or derivative thereof concentration ranges from 0.5 to 0.75 mg/ml.

155. The pharmaceutical preparation of claim 136 or 147, wherein the preparation is substantially free of methylnaltrexone degradation products.

156. The pharmaceutical preparation of claim 141, wherein the preparation is substantially free of methylnaltrexone degradation products.

157. The pharmaceutical preparation of claim 148, wherein the preparation is substantially free of methylnaltrexone degradation products.

158. The pharmaceutical preparation of claim 148, wherein the pharmaceutical preparation has been autoclaved and the concentration of methylnaltrexone degradation products is less than 2.0% of the methylnaltrexone in the preparation.

159. The preparation of claim 158, wherein the concentration of methylnaltrexone degradation products is less than 1.0% of the methylnaltrexone in the preparation.

160. The preparation according to claim 158, wherein the concentration of methylnaltrexone degradation products is less than 0.5% of the methylnaltrexone in the preparation.

161. The preparation according to claim 158, wherein the concentration of methylnaltrexone degradation products is less than 0.25% of the methylnaltrexone in the preparation.

162. The preparation according to claim 158, wherein the concentration of methylnaltrexone degradation products is less than 0.125% of the methylnaltrexone in the preparation.

163. The pharmaceutical preparation of claim 136 or 147, wherein the methylnaltrexone or salt thereof is present in an amount effective to treat a side effect associated with opioid treatment when administered to a human subject.

164. The pharmaceutical preparation of claim 163, wherein the concentration of methylnaltrexone or salt thereof is sufficient to treat constipation.

165. The pharmaceutical preparation of claim 136 or 147, wherein the concentration of methylnaltrexone or salt thereof ranges from 0.01 to 100 mg/ml.

166. The pharmaceutical preparation of claim 136 or 147, wherein the concentration of methylnaltrexone or salt thereof ranges from 0.05 to 100 mg/ml.

167. The pharmaceutical preparation of claim 136 or 147, wherein the concentration of methylnaltrexone or salt thereof ranges from 0.1 to 100 mg/ml.

168. The pharmaceutical preparation of claim 136 or 147, wherein the concentration of methylnaltrexone or salt thereof is about 50 mg/ml.

169. The pharmaceutical preparation of claim 136 or 147, wherein the concentration of methylnaltrexone or salt thereof is about 10.0 mg/ml.

170. The pharmaceutical preparation of claim 136 or 147, wherein the concentration of methylnaltrexone or salt thereof is about 0.1 mg/ml.

171. The pharmaceutical composition of claim 136 or 147, further comprising an isotonicity agent.

172. The pharmaceutical composition of claim 141, further comprising an isotonicity agent.

173. The pharmaceutical composition of claim 148, further comprising an isotonicity agent.

174. The composition of claim 171, wherein the isotonicity agent is selected from the group consisting of sodium chloride, mannitol, lactose, dextrose, sorbitol, and glycerol.

175. The preparation of claim 174, wherein the isotonicity agent is sodium chloride.

176. The preparation of claim 136 or 147, further comprising an antioxidant.

177. The preparation of claim 141, further comprising an antioxidant.

178. The preparation of claim 148, further comprising an antioxidant.

179. The preparation of claim 176, wherein the antioxidant is selected from the group consisting of an ascorbic acid derivative, butylated hydroxy anisole, butylated hydroxy toluene, alkyl gallate, sodium meta-bisulfite, sodium bisulfite, sodium dithionite, sodium thioglycollic acid, sodium formaldehyde sulfoxylate, tocopherol and derivatives thereof, monothioglycerol, and sodium sulfite.

180. The preparation of claim 136 or 147, further comprising a cryoprotective agent.

181. The preparation of claim 141, further comprising a cryoprotective agent.

182. The preparation of claim 148, further comprising a cryoprotective agent.

183. The preparation of claim 180 wherein the cryoprotective agent is a polyol.

184. The preparation of claim 136 or 147, further comprising an opioid.

185. The preparation of claim 141, further comprising an opioid.

186. The preparation of claim 148, further comprising an opioid.

187. The preparation of claim 184, wherein the opioid is selected from the group consisting of alfentanil, anileridine, asimadoline, bremazocine, burprenorphine, butorphanol, codeine, dezocine, diacetylmorphine (heroin), dihydrocodeine, diphenoxylate, fedotozine, fentanyl, funaltrexamine, hydrocodone, hydromorphone, levallorphan, levomethadyl acetate, levorphanol, loperamide, meperidine (pethidine), methadone, morphine, morphine-6-glucoronide, nalbuphine, nalorphine, opium, oxycodone, oxymorphone, pentazocine, propiram, propoxyphene, remifentanyl, sufentanil, tilidine, trimebutine, and tramadol.

188. A stable pharmaceutical preparation comprising a solution of methylnaltrexone or salt thereof, wherein the solution further comprises a chelating agent in an amount sufficient to inhibit degradation of the methylnaltrexone or salt thereof, whereby the amount is such that the preparation after autoclaving has a concentration of methylnaltrexone degradation products that does not exceed 0.5 % of the methylnaltrexone or salt thereof in the preparation.

189. The pharmaceutical preparation of claim 188, wherein the chelating agent is selected from the group consisting of EDTA and derivatives thereof, citric acid and derivatives thereof, niacinamide and derivatives thereof, and sodium desoxycholate and derivatives thereof.

190. The pharmaceutical preparation of claim 189, wherein the chelating agent is EDTA or derivative thereof.

191. The pharmaceutical preparation of claim 190, wherein the EDTA or derivative thereof concentration ranges from 0.4 to 100 mg/ml.

192. The pharmaceutical preparation of claim 191, wherein the EDTA or derivative concentration ranges from 0.5 to 25.0 mg/ml.

193. The pharmaceutical preparation of claim 191, wherein the EDTA or derivative concentration ranges from 0.5 to 10.0 mg/ml.

194. The pharmaceutical preparation of claim 191, wherein the EDTA or derivative concentration ranges from 0.5 to 2.5 mg/ml.

195. The pharmaceutical preparation of claim 188, 189, 190, 191 or 192, wherein the preparation further comprises a buffering agent.

196. The pharmaceutical preparation of claim 195, wherein the buffering agent is selected from the group consisting of citric acid, sodium citrate, sodium acetate, acetic acid, sodium phosphate and phosphoric acid, sodium ascorbate, tartartic acid, maleic acid, glycine, sodium lactate, lactic acid, ascorbic acid, imidazole, sodium bicarbonate and carbonic acid, sodium succinate and succinic acid, histidine, and sodium benzoate and benzoic acid.

197. The pharmaceutical preparation of claim 195, wherein the buffering agent is a citrate buffer.

198. The pharmaceutical preparation of claim 197, wherein the citrate buffer concentration ranges from 0.001 mM to 100 mM.

199. The pharmaceutical preparation of claim 197, wherein the citrate buffer concentration ranges from 0.01 mM to 50 mM.

200. The pharmaceutical preparation of claim 197, wherein the citrate buffer concentration ranges from 0.1 mM to 25 mM.

201. The pharmaceutical preparation of claim 197, wherein the citrate buffer concentration ranges from 0.25 mM to 15 mM.

202. The pharmaceutical preparation of claim 188, wherein the preparation is substantially free of methylnaltrexone degradation products.

203. The pharmaceutical preparation of claim 195, wherein the preparation is substantially free of methylnaltrexone degradation products.

204. The pharmaceutical preparation of claim 197, wherein the preparation is substantially free of methylnaltrexone degradation products.

205. The preparation according to claim 188, wherein the concentration of methylnaltrexone degradation products is less than 0.25% of the methylnaltrexone in the preparation.

206. The pharmaceutical preparation of claim 188, wherein the methylnaltrexone or salt thereof is present in an amount effective to treat a side effect associated with opioid treatment when administered to a human subject.

207. The pharmaceutical preparation of claim 206, wherein the concentration of methylnaltrexone or salt thereof is sufficient to treat constipation.

208. The pharmaceutical preparation of claim 188, wherein the concentration of methylnaltrexone or salt thereof ranges from 0.01 to 100 mg/ml.

209. The pharmaceutical preparation of claim 188, wherein the concentration of methylnaltrexone or salt thereof ranges from 0.05 to 100 mg/ml.

210. The pharmaceutical preparation of claim 188, wherein the concentration of methylnaltrexone or salt thereof ranges from 0.1 to 100 mg/ml.

211. The pharmaceutical preparation of claim 188, wherein the concentration of methylnaltrexone or salt thereof is in a range of 25 to 75 mg/ml.

212. The pharmaceutical preparation of claim 188, wherein the concentration of methylnaltrexone or salt thereof is in a range of 1 to 20 mg/ml.

213. The pharmaceutical preparation of claim 188, wherein the concentration of methylnaltrexone or salt thereof is in a range of 0.05 to 0.5 mg/ml.

214. The pharmaceutical composition of claim 188, further comprising an isotonicity agent.

215. The pharmaceutical composition of claim 195, further comprising an isotonicity agent.

216. The composition of claim 214, wherein the isotonicity agent is selected from the group consisting of sodium chloride, mannitol, lactose, dextrose, glycerol, and sorbitol.

217. The composition of claim 215, wherein the isotonicity agent is selected from the group consisting of sodium chloride, mannitol, lactose, dextrose, glycerol, and sorbitol.

218. The preparation of claim 215, wherein the isotonicity agent is sodium chloride.

219. The preparation of claim 188, further comprising an antioxidant.

220. The preparation of claim 195, further comprising an antioxidant.

221. The preparation of claim 219, wherein the antioxidant is selected from the group consisting of an ascorbic acid derivative, butylated hydroxy anisole, butylated hydroxy toluene, alkyl gallate, sodium meta-bisulfite, sodium bisulfite, sodium dithionite, sodium thioglycollic acid, sodium formaldehyde sulfoxylate, tocopherol and derivatives thereof, monothioglycerol, and sodium sulfite.

222. The preparation of claim 220, wherein the antioxidant is selected from the group consisting of an ascorbic acid derivative, butylated hydroxy anisole, butylated hydroxy toluene, alkyl gallate, sodium meta-bisulfite, sodium dithionite, sodium thioglycollic acid, sodium formaldehyde sulfoxylate, tocopherol and derivatives thereof, monothioglycerol, sodium bisulfite, and sodium sulfite.

223. The preparation of any of claims 188, 195 or 219, further comprising a cryoprotective agent.

224. The preparation of claim 213 wherein the cryoprotective agent is a polymerized carbohydrate.

225. The preparation of claim 188, further comprising an opioid.

226. The preparation of claim 195, further comprising an opioid.

227. The preparation of claim 219, further comprising an opioid.

228. The preparation of claim 225, wherein the opioid is selected from the group consisting of alfentanil, anileridine, asimadoline, bremazocine, burprenorphine, butorphanol, codeine, dezocine, diacetylmorphine (heroin), dihydrocodeine, diphenoxylate, fedotozine, fentanyl, funaltrexamine, hydrocodone, hydromorphone, levallorphan, levomethadyl acetate, levorphanol, loperamide, meperidine (pethidine), methadone, morphine, morphine-6-glucoronide, nalbuphine, nalorphine, opium, oxycodone, oxymorphone, pentazocine, propiram, propoxyphene, remifentanyl, sufentanil, tilidine, trimebutine, and tramadol.

229. The preparation of claim 226, wherein the opioid is selected from the group consisting of alfentanil, anileridine, asimadoline, bremazocine, burprenorphine, butorphanol, codeine, dezocine, diacetylmorphine (heroin), dihydrocodeine, diphenoxylate, fedotozine, fentanyl, funaltrexamine, hydrocodone, hydromorphone,

levallorphan, levomethadyl acetate, levorphanol, loperamide, meperidine (pethidine), methadone, morphine, morphine-6-glucoronide, nalbuphine, nalorphine, opium, oxycodone, oxymorphone, pentazocine, propiram, propoxyphene, remifentanyl, sufentanil, tilidine, trimebutine, and tramadol.

230. The preparation of claim 227, wherein the opioid is selected from the group consisting of alfentanil, anileridine, asimadoline, bremazocine, burprenorphine, butorphanol, codeine, dezocine, diacetylmorphine (heroin), dihydrocodeine, diphenoxylate, fedotozine, fentanyl, funaltrexamine, hydrocodone, hydromorphone, levallorphan, levomethadyl acetate, levorphanol, loperamide, meperidine (pethidine), methadone, morphine, morphine-6-glucoronide, nalbuphine, nalorphine, opium, oxycodone, oxymorphone, pentazocine, propiram, propoxyphene, remifentanyl, sufentanil, tilidine, trimebutine, and tramadol.

231. A pharmaceutical preparation comprising a solution of methylnaltrexone or salt thereof and at least one methylnaltrexone degradation inhibiting agent selected from the group consisting of a chelating agent, a buffering agent, an antioxidant, and combinations thereof, wherein the solution has a pH ranging from 2 to 6, wherein the degradation inhibiting agent is present in an amount sufficient to render the preparation stable, wherein the preparation is processed under at least one sterilization technique, and wherein the preparation is substantially free of methylnaltrexone degradation products.

232. The pharmaceutical preparation of claim 231, wherein the preparation is stable to storage for 6 months at about room temperature.

233. The pharmaceutical preparation of claim 232, wherein the preparation is stable to storage for 12 months at about room temperature.

234. The pharmaceutical preparation of claim 233, wherein the preparation is stable to storage for 24 months at about room temperature.

235. The pharmaceutical preparation of claim 231, wherein the preparation is stable to autoclaving.

236. The pharmaceutical preparation of claim 231, further comprising an isotonicity agent.

237. The preparation of claim 231, further comprising a cryoprotective agent.

238. The pharmaceutical preparation of claim 231, further comprising an opioid.

239. The pharmaceutical preparation of claim 237, wherein the opioid is selected from the group consisting of alfentanil, anileridine, asimadoline, bremazocine, burprenorphine, butorphanol, codeine, dezocine, diacetylmorphine (heroin), dihydrocodeine, diphenoxylate, fedotozine, fentanyl, funaltrexamine, hydrocodone, hydromorphone, levallorphan, levomethadyl acetate, levorphanol, loperamide, meperidine (pethidine), methadone, morphine, morphine-6-glucoronide, nalbuphine, nalorphine, opium, oxycodone, oxymorphone, pentazocine, propiram, propoxyphene, remifentanyl, sufentanil, tilidine, trimebutine, and tramadol.

240. The pharmaceutical preparation of claim 136, 188 or 231, wherein the preparation is provided in a vial or an ampoule with a septum.

241. The pharmaceutical preparation of claim 136, 188 or 231, wherein the preparation is provided in an infusion bag.

242. The pharmaceutical preparation of claim 136, 188 or 231, wherein the preparation is provided in a syringe.

243. The pharmaceutical preparation of claim 136, 188 or 231, wherein the preparation is provided in a sealable bottle.

244. The pharmaceutical preparation of claim 136, 188 or 231, wherein the preparation is suitable for parenteral administration.

245. The pharmaceutical preparation of claim 136, 188 or 231, wherein the preparation is suitable for oral imbibing.

246. The pharmaceutical preparation of claim 136, 188 or 231, wherein the solution is provided in a container including indicia indicating the preparation has been processed under at least one sterilization technique.

247. A method of inhibiting formation of methylnaltrexone degradation products in a pharmaceutical preparation comprising methylnaltrexone or salts thereof, the method comprising:

preparing an aqueous solution comprising at least one methylnaltrexone degradation inhibiting agent selected from the group consisting of a chelating agent, a buffering agent, an antioxidant, and combinations thereof;

dissolving a powdered source of methylnaltrexone or salt thereof with the solution to form the pharmaceutical preparation.

248. The method of claim 247, wherein the methylnaltrexone degradation inhibiting agent is a chelating agent.

249. The method of claim 247, wherein the methylnaltrexone degradation inhibiting agent is a buffering agent.

250. The method of claim 247, wherein the methylnaltrexone degradation inhibiting agent is an antioxidant.

251. The method of claim 247, wherein the methylnaltrexone degradation inhibiting agent comprises a chelating agent and a buffering agent.

252. The method of claim 247, further comprising adjusting with an acid the pH of the solution or the preparation to a pH ranging from 2 to 6.

253. The method of claim 247, further comprising adjusting with an acid the pH of the solution or the preparation to a pH ranging from about 3 to 5.

254. The method of claim 247, further comprising adjusting with an acid the pH of the solution or the preparation to a pH ranging from about 3 to 4.

255. The method of claim 247, further comprising adding an isotonicity agent to the solution.

256. A method of preparing a stable pharmaceutical preparation comprising an aqueous solution of methylnaltrexone or salts thereof to inhibit formation of methylnaltrexone degradation products, comprising:

providing a solution comprising methylnaltrexone or salts thereof and at least one methylnaltrexone degradation inhibiting agent;

processing the solution under at least one sterilization technique prior to and/or after terminal filling the solution in a sealable container to form the stable pharmaceutical preparation, wherein the method is carried out without the addition of a pH-adjusting-base to the solution.

257. The method according to claim 256, wherein the concentration of methylnaltrexone degradation products is less than 2.0% of the total methylnaltrexone in the preparation.

258. The method according to claim 256, wherein the concentration of methylnaltrexone degradation products is less than 1.0 % of the total methylnaltrexone in the preparation.

259. The method according to claim 256, wherein the concentration of methylnaltrexone degradation products is less than 0.5% of the total methylnaltrexone in the preparation.

260. The method according to claim 256, wherein the concentration of methylnaltrexone degradation products is less than 0.25% of the total methylnaltrexone in the preparation.

261. The method of claim 256, wherein the methylnaltrexone degradation inhibiting agent is selected from the group consisting of a chelating agent, a buffering agent, an antioxidant, and combinations thereof.

262. The method of claim 256, wherein the methylnaltrexone degradation inhibiting agent is a chelating agent.

263. The method of claim 256, wherein the methylnaltrexone degradation inhibiting agent is a buffering agent.

264. The method of claim 256, wherein the buffering agent is citrate buffer.

265. The method of claim 256, wherein the methylnaltrexone degradation inhibiting agent is an antioxidant.

266. The method of claim 256, wherein the methylnaltrexone degradation inhibiting agent comprises a chelating agent and a buffering agent.

267. The method of claim 256, 261, 262, 263, 264, 265 or 266, wherein the initial solution is adjusted to a pH ranging from 2 to 6 prior to the processing under the at least one sterilization technique.

268. The method of claim 267, wherein the initial solution is adjusted to a pH ranging from 2 to 5.

269. The method of claim 268, wherein the initial solution is adjusted to a pH ranging from 3 to 5.

270. The method of claim 269, wherein the initial solution is adjusted to a pH ranging from 3 to 4.

271. The method of claim 256, wherein the aseptic technique is autoclaving after terminal filling the sealable container.

272. The method of claim 256, wherein the processing comprises sterile filtration prior to terminal filling followed by autoclaving after terminal filling the sealable container.

273. The method of claim 256, further comprising sealing the container, wherein the container is purged with nitrogen.

274. The method of claim 256, further comprising sealing the container, wherein the container is sparged to eliminate oxygen.

275. The method of claim 256, wherein the initial solution further comprises an isotonicity agent.

276. The method of claim 275, wherein the isotonicity agent is sodium chloride.

277. The method of claim 256, wherein the initial solution further comprising a cryoprotective agent.

278. The method of claim 277 wherein the cryoprotective agent is a polyol.

279. The method of claim 256, further comprising adding at least one opioid to the initial solution.

280. The method of claim 279, wherein the opioid is selected from the group consisting of alfentanil, anileridine, asimadoline, bremazocine, burprenorphine, butorphanol, codeine, dezocine, diacetylmorphine (heroin), dihydrocodeine, diphenoxylate, fedotozine, fentanyl, funaltrexamine, hydrocodone, hydromorphone, levallorphan, levomethadyl acetate, levorphanol, loperamide, meperidine (pethidine), methadone, morphine, morphine-6-glucoronide, nalbuphine, nalorphine, opium, oxycodone, oxymorphone, pentazocine, propiram, propoxyphene, remifentanyl, sufentanil, tilidine, trimebutine, and tramadol.

281. The method of claim 279, wherein the opioid is solubilized in a nonaqueous solvent prior to addition to the initial solution.

282. The method of claim 281, wherein the nonaqueous solvent is an oil, wax, or alcohol.

283. A product comprising

a stable lyophilized formulation of methylnaltrexone, wherein the formulation upon reconstitution in water at a concentration of 20 mg/ml has a pH of between 2 and 6.

284. The product of claim 283, wherein the formulation upon reconstitution in water has a pH of between 3 and 5.

285. The product of claim 283, wherein the formulation comprises a cryoprotecting agent present in an amount sufficient to render the formulation stable.

286. The product of claim 284, wherein the formulation comprises a cryoprotecting agent present in an amount to render the formulation stable.

287. The product of claim 285, wherein the cryoprotecting agent is a polyol.

288. The product of claim 286, wherein the cryoprotecting agent is a polyol.

289. The product of claim 285, wherein the cryoprotecting agent is mannitol.

290. The product of claim 286, wherein the cryoprotecting agent is mannitol.

291. The product of claims 283-390, further comprising any one or more of a buffering agent, a chelating agent and an antioxidant.

292. The product of claims 283-290, further comprising citrate buffer.

293. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of claims 1-21.

294. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of claim 36.

295. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of claim 37.

296. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of claims 86-105.

297. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of 119.

298. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of 120.

299. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of 136-139.

300. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of 180.

301. A product comprising

a lyophilized formulation of methylnaltrexone prepared from a solution comprising the solution of 181.

302. A product comprising

methylnaltrexone and a degradation inhibiting agent selected from the group consisting of a chelating agent, a buffering agent, an anti-oxidant, and combinations thereof, wherein the degradation inhibiting agent is present in an amount sufficient to render stable a solution of the product containing a concentration of 20 mg/ml methylnaltrexone.

303. The product of claim 302, wherein the product when in solution at a concentration of 20 mg/ml methylnaltrexone yields a solution with a pH of between 2 and 6.

304. The product of claim 303, wherein the product has less than 1% methylnaltrexone degradation products when stored at room temperature in the solution for 6 months.

305. The product of claim 303, wherein the product has less than 1% methylnaltrexone degradation products when stored at room temperature in the solution for 12 months.

306. The product of claim 303, wherein the product has less than 1% methylnaltrexone degradation products when stored at room temperature in the solution for 24 months.

307. A pharmaceutical preparation comprising methylnaltrexone;
sodium chloride,
citric acid,
trisodium citrate, and
disodium edetate.

308. The pharmaceutical preparation of claim 307, wherein the preparation is a solution and the methylnaltrexone is present at between 20 and 40 mg/ml, the sodium chloride is present between 2 and 6 mg/ml, the citric acid is present between 0.05 and 0.1 mg/ml, the trisodium citrate is present between 0.025 and 0.075 mg/ml and the disodium edetate is present between 0.5 and 1.0 mg/ml.

309. A kit comprising a package containing a sealed container comprising the pharmaceutical preparation of claim 136, 188, 231, or 283, and instructions for use.

310. The kit of claim 309, further comprising a diluant container containing a pharmaceutically acceptable diluant.

311. The kit of claim 310, further comprising instructions for mixing the preparation and diluant.

312. The kit of claim 310, wherein the diluant is selected from the group consisting of a 5% dextrose solution and a physiological saline solution.

313. The kit of claim 310, wherein the diluant is contained in a sealable bottle or an infusion bag.

314. The kit of claim 309, further comprising an opioid container containing an opioid.

315. The kit of claim 314, wherein the opioid is selected from the group consisting of alfentanil, anileridine, asimadoline, bremazocine, burprenorphine, butorphanol, codeine, dezocine, diacetylmorphine (heroin), dihydrocodeine, diphenoxylate, fedotozine, fentanyl, funaltrexamine, hydrocodone, hydromorphone, levallorphan, levomethadyl acetate, levorphanol, loperamide, meperidine (pethidine), methadone, morphine, morphine-6-glucoronide, nalbuphine, nalorphine, opium, oxycodone, oxymorphone, pentazocine, propiram, propoxyphene, remifentanyl, sufentanil, tilidine, trimebutine, and tramadol.